

L10 ANSWER 6 OF 14 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1989:135731 CAPLUS  
 DOCUMENT NUMBER: 110:135731  
 TITLE: Preparation and testing of peptidylaminodiols as renin inhibitors  
 INVENTOR(S): Fung, Anthony K. L.; Kempf, Dale John; Luly, Jay  
 Richard; Rosenberg, Saul Howard; Plattner, Jacob John  
 PATENT ASSIGNEE(S): Abbott Laboratories, USA  
 SOURCE: PCT Int. Appl., 112 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 5  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 8805050	A1	19880714	WO 1987-US3376	19871222
W: AU, DK, JP, KR				
RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
IL 97441	A1	19920906	IL 1987-97441	19870112
US 5032577	A	19910716	US 1987-132356	19871218
AU 8811580	A1	19880727	AU 1988-11580	19871222
AU 609774	B2	19910509		
EP 295294	A1	19881221	EP 1988-900918	19871222
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
JP 01502514	T2	19890831	JP 1988-501082	19871222
IL 84945	A1	19920216	IL 1987-84945	19871225
US 4845079	A	19890704	US 1988-217106	19880711
DK 8804834	A	19880830	DK 1988-4834	19880830
CA 1307289	A2	19920908	CA 1991-615975	19910108
AU 9170281	A1	19910418	AU 1991-70281	19910205
AU 638093	B2	19930617		
US 5091575	A	19920225	US 1991-713644	19910610
US 5214129	A	19930525	US 1991-793773	19911118

PRIORITY APPLN. INFO.:

US 1986-943567	19861231
US 1987-132356	19871218
US 1985-693951	19850123
US 1986-818714	19860116
US 1986-818715	19860116
US 1986-818734	19860116
US 1986-895009	19860807
IL 1987-81234	19870112
CA 1987-527514	19870116
WO 1987-US3376	19871222
US 1988-217106	19880711
US 1989-327467	19890322
US 1991-713644	19910610

OTHER SOURCE(S): MARPAT 110:135731

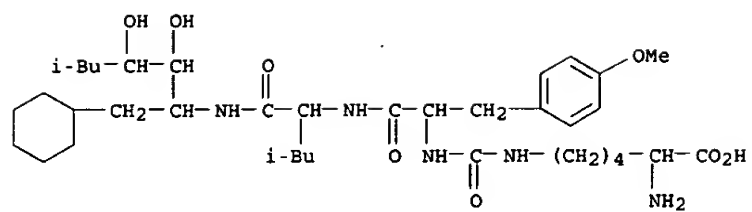
AB ACHR1-W-U-CHR3CONHCHR4CR5R8CR6R7R9 [I; A = (un)substituted amino, acylamino, etc.; W = CO, CHO; U = CH<sub>2</sub>, NR<sub>2</sub>; R<sub>1</sub> = alkyl, cycloalkylmethyl, (substituted) PhCH<sub>2</sub>, anilino, thiophenoxy, etc.; R<sub>2</sub>, R<sub>7</sub> = H, alkyl; R<sub>3</sub> = alkyl, alkenyl, alkoxyalkoxyalkyl, PhCH<sub>2</sub>, heterocyclylmethyl; R<sub>4</sub> = alkyl, cycloalkylmethyl, PhCH<sub>2</sub>; R<sub>5</sub> = H, CH<sub>2</sub>:CH, HCO, HOCH<sub>2</sub>; R<sub>6</sub> = H, alkyl, CH<sub>2</sub>:CH, arylalkyl; R<sub>8</sub>, R<sub>9</sub> = OH, NH<sub>2</sub>], useful as renin inhibitors, were prepd. 2S-tert-Butyloxycarbonylamino-1-cyclohexylbut-3-ene (prepn. given) was deprotected with HCl/MeOH and coupled with BOC-Phe-Ala-OH (BOC = CO<sub>2</sub>Me<sub>3</sub>), using iso-Bu chloroformate and N-methylmorpholine in THF/DMF at -13.degree. the product was treated with OsO<sub>4</sub>/N-methylmorpholine N-oxide in THF to give 3S-N-(tert-butoxycarbonylphenylalanylalanylalanyl)-4-cyclohexyl-1,2(R,S)-dihydroxybutane. I inhibited renin with IC<sub>50</sub>'s of 0.3-4000 nM.

IT 119609-96-0P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (prepn. of, as renin inhibitor)

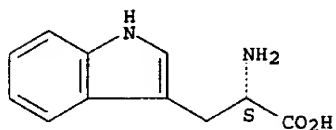
RN 119609-96-0 CAPLUS

CN L-Leucinamide, N-[[[(5-amino-5-carboxypentyl)amino]carbonyl]-O-methyl-L-tyrosyl-N-[1-(cyclohexylmethyl)-2,3-dihydroxy-5-methylhexyl]-, [1(S),2[1S-(1R\*,2S\*,3R\*)]]]- (9CI) (CA INDEX NAME)



L2 15 ANSWERS REGISTRY COPYRIGHT 2002 ACS  
IN L-Tryptophan (9CI)  
MF C11 H12 N2 O2  
CI COM

Absolute stereochemistry.

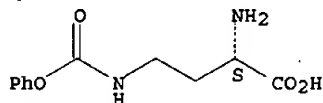


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):14

L2 15 ANSWERS REGISTRY COPYRIGHT 2002 ACS  
IN Butanoic acid, 2-amino-4-[(phenoxycarbonyl)amino]-, (S)- (9CI)  
MF C11 H14 N2 O4

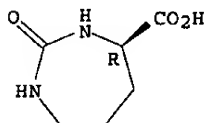
Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L2 15 ANSWERS REGISTRY COPYRIGHT 2002 ACS  
IN 1H-1,3-Diazepine-4-carboxylic acid, hexahydro-2-oxo-, (R)- (9CI)  
MF C6 H10 N2 O3

Absolute stereochemistry.

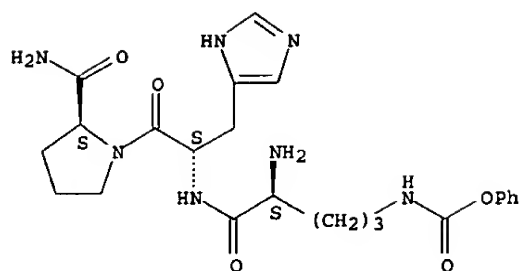


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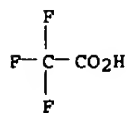
L2 15 ANSWERS REGISTRY COPYRIGHT 2002 ACS  
IN L-Prolinamide, N5-(phenoxycarbonyl)-L-ornithyl-L-histidyl-,  
bis(trifluoroacetate) (9CI)  
MF C23 H31 N7 O5 . 2 C2 H F3 O2

CM 1

Absolute stereochemistry.

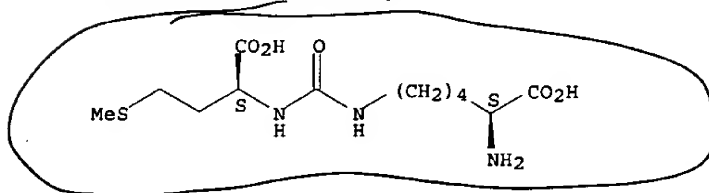


CM 2



L2 15 ANSWERS REGISTRY COPYRIGHT 2002 ACS  
 IN L-Lysine, N6-[[[1-carboxy-3-(methylthio)propyl]amino]carbonyl]-, (S)-  
 (9CI)  
 MF C12 H23 N3 O5 S

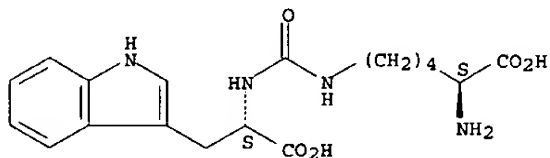
Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L2 15 ANSWERS REGISTRY COPYRIGHT 2002 ACS  
 IN L-Tryptophan, N-[[[5-amino-5-carboxypentyl]amino]carbonyl]-, (S)- (9CI)  
 MF C18 H24 N4 O5

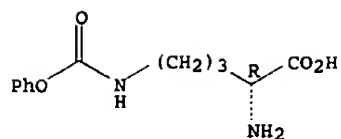
Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L2 15 ANSWERS REGISTRY COPYRIGHT 2002 ACS  
 IN D-Ornithine, N5-(phenoxycarbonyl)- (9CI)  
 MF C12 H16 N2 O4

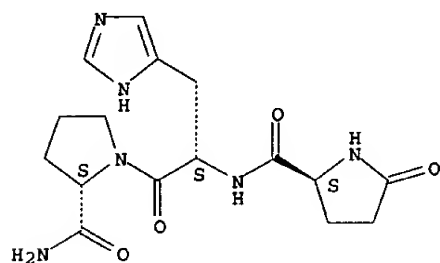
Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L2 15 ANSWERS REGISTRY COPYRIGHT 2002 ACS  
 IN L-Prolinamide, 5-oxo-L-prolyl-L-histidyl- (9CI)  
 MF C16 H22 N6 O4  
 CI COM

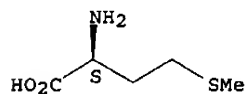
Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L2 15 ANSWERS REGISTRY COPYRIGHT 2002 ACS  
 IN L-Methionine (9CI)  
 MF C5 H11 N O2 S  
 CI COM

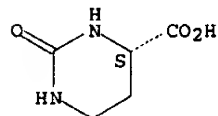
Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L2 15 ANSWERS REGISTRY COPYRIGHT 2002 ACS  
 IN 4-Pyrimidinecarboxylic acid, hexahydro-2-oxo-, (4S)- (9CI)  
 MF C5 H8 N2 O3

Absolute stereochemistry.

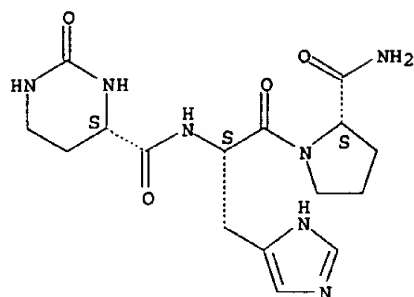


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L2 15 ANSWERS REGISTRY COPYRIGHT 2002 ACS  
 IN L-Prolinamide, N-[(hexahydro-2-oxo-4-pyrimidinyl)carbonyl]-L-histidyl- (9CI)

MF C16 H23 N7 O4

Absolute stereochemistry.



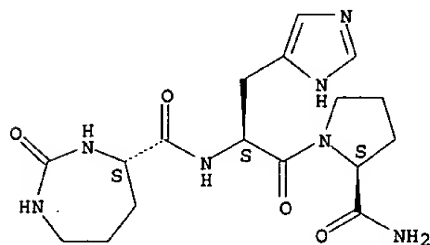
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IN L-Prolinamide, N-[(hexahydro-2-oxo-1H-1,3-diazepin-4-yl)carbonyl]-L-histidyl-, (S)- (9CI)

MF C17 H25 N7 O4

Absolute stereochemistry.



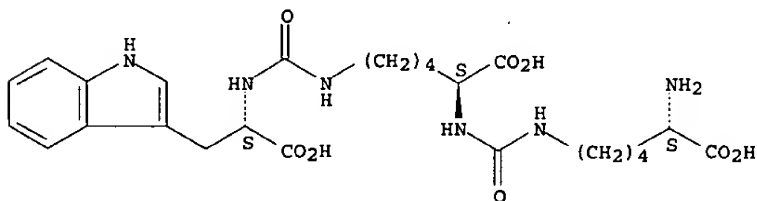
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IN 2,4,10,12-Tetraazaheptadecane-1,9,17-tricarboxylic acid, 17-amino-1-(1H-indol-3-ylmethyl)-3,11-dioxo-, [1S-(1R\*,9R\*,17R\*)]- (9CI)

MF C25 H36 N6 O8

Absolute stereochemistry.



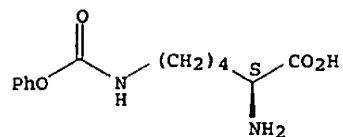
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IN L-Lysine, N6-(phenoxycarbonyl)- (9CI)

MF C13 H18 N2 O4

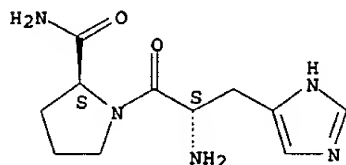
Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L2 15 ANSWERS REGISTRY COPYRIGHT 2002 ACS  
IN L-Prolinamide, L-histidyl-, dihydrobromide (9CI)  
MF C11 H17 N5 O2 . 2 Br H

Absolute stereochemistry.



● 2 HBr

ALL ANSWERS HAVE BEEN SCANNED